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|------|----|--------|---|
| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | DEC 01 | ChemPort single article sales feature unavailable |
| NEWS | 3 | FEB 02 | Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE |
| NEWS | 4 | FEB 02 | GENBANK enhanced with SET PLURALS and SET SPELLING |
| NEWS | 5 | FEB 06 | Patent sequence location (PSL) data added to USGENE |
| NEWS | 6 | FEB 10 | COMPENDEX reloaded and enhanced |
| NEWS | 7 | FEB 11 | WTEXTILES reloaded and enhanced |
| NEWS | 8 | FEB 19 | New patent-examiner citations in 300,000 CA/CAPLUS patent records provide insights into related prior art |
| NEWS | 9 | FEB 19 | Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01 |
| NEWS | 10 | FEB 23 | Several formats for image display and print options discontinued in USPATFULL and USPAT2 |
| NEWS | 11 | FEB 23 | MEDLINE now offers more precise author group fields and 2009 MeSH terms |
| NEWS | 12 | FEB 23 | TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms |
| NEWS | 13 | FEB 23 | Three million new patent records blast AEROSPACE into STN patent clusters |
| NEWS | 14 | FEB 25 | USGENE enhanced with patent family and legal status display data from INPADOCDB |
| NEWS | 15 | MAR 06 | INPADOCDB and INPAFAMDB enhanced with new display formats |
| NEWS | 16 | MAR 11 | EPFULL backfile enhanced with additional full-text applications and grants |
| NEWS | 17 | MAR 11 | ESBIOBASE reloaded and enhanced |
| NEWS | 18 | MAR 20 | CAS databases on STN enhanced with new super role for nanomaterial substances |
| NEWS | 19 | MAR 23 | CA/CAPLUS enhanced with more than 250,000 patent equivalents from China |
| NEWS | 20 | MAR 30 | IMSPATENTS reloaded and enhanced |
| NEWS | 21 | APR 03 | CAS coverage of exemplified prophetic substances enhanced |
| NEWS | 22 | APR 07 | STN is raising the limits on saved answers |
| NEWS | 23 | APR 24 | CA/CAPLUS now has more comprehensive patent assignee information |
| NEWS | 24 | APR 26 | USPATFULL and USPAT2 enhanced with patent assignment/reassignment information |
| NEWS | 25 | APR 28 | CAS patent authority coverage expanded |
| NEWS | 26 | APR 28 | ENCOMPLIT/ENCOMPLIT2 search fields enhanced |
| NEWS | 27 | APR 28 | Limits doubled for structure searching in CAS REGISTRY |
| NEWS | 28 | MAY 08 | STN Express, Version 8.4, now available |
| NEWS | 29 | MAY 11 | STN on the Web enhanced |

NEWS 30 MAY 11 BEILSTEIN substance information now available on
STN Easy
NEWS 31 MAY 14 DGENE, PCTGEN and USGENE enhanced with increased
limits for exact sequence match searches and
introduction of free HIT display format
NEWS 32 MAY 15 INPADOCDB and INPAFAMDB enhanced with Chinese legal
status data

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 20:47:27 ON 15 MAY 2009

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| | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.44 | 0.44 |

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STRUCTURE FILE UPDATES: 14 MAY 2009 HIGHEST RN 1146852-72-3
DICTIONARY FILE UPDATES: 14 MAY 2009 HIGHEST RN 1146852-72-3

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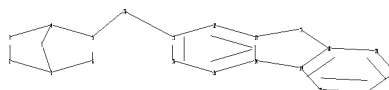
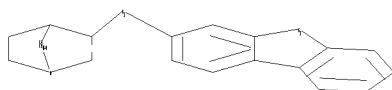
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

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=>
Uploading C:\Program Files\Stnexp\Queries\10568148a.str



chain nodes :

26

ring nodes :

1 2 3 4 5 6 7 11 12 13 14 15 16 17 18 19 20 21 22 23

chain bonds :

5-26 11-26

ring bonds :

1-2 1-6 1-7 2-3 3-4 4-5 4-7 5-6 11-16 11-12 12-13 13-14 13-17 14-15
14-19 15-16 17-18 18-19 18-20 19-23 20-21 21-22 22-23

exact/norm bonds :

1-2 1-6 1-7 2-3 3-4 4-5 4-7 5-6 5-26 11-26 13-17 14-19 17-18

normalized bonds :

11-16 11-12 12-13 13-14 14-15 15-16 18-19 18-20 19-23 20-21 21-22 22-23

isolated ring systems :

containing 1 : 11 :

G1:C,O,S,N

G2:H,CH3,Et

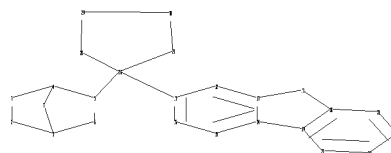
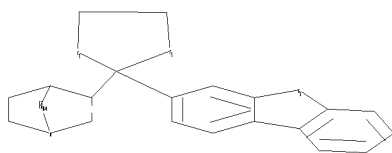
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 11:Atom 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 26:Atom

L1 STRUCTURE UPLOADED

=>

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ring nodes :

1 2 3 4 5 6 7 11 12 13 14 15 16 17 18 19 20 21 22 23 26 27 28
29 30

chain bonds :

5-26 11-26

ring bonds :

1-2 1-6 1-7 2-3 3-4 4-5 4-7 5-6 11-16 11-12 12-13 13-14 13-17 14-15
14-19 15-16 17-18 18-19 18-20 19-23 20-21 21-22 22-23 26-27 26-28 27-30
28-29 29-30

exact/norm bonds :

1-2 1-6 1-7 2-3 3-4 4-5 4-7 5-6 5-26 11-26 13-17 14-19 17-18 26-27
26-28 27-30 28-29 29-30

normalized bonds :

11-16 11-12 12-13 13-14 14-15 15-16 18-19 18-20 19-23 20-21 21-22 22-23
isolated ring systems :
containing 1 : 11 : 26 :

G1:C,O,S,N

G2:H,CH3,Et

G3:O,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 11:Atom 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

L2 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> d 12

L2 HAS NO ANSWERS

L2 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s 11 full

FULL SEARCH INITIATED 20:50:10 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 51171 TO ITERATE

100.0% PROCESSED 51171 ITERATIONS

36 ANSWERS

SEARCH TIME: 00.00.01

L3 36 SEA SSS FUL L1

=> s 12 full

FULL SEARCH INITIATED 20:50:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 199 TO ITERATE

100.0% PROCESSED 199 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

372.24

372.68

FILE 'CAPLUS' ENTERED AT 20:50:27 ON 15 MAY 2009

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FILE COVERS 1907 - 15 May 2009 VOL 150 ISS 21
FILE LAST UPDATED: 14 May 2009 (20090514/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

C Aplu s now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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=> s l3 full

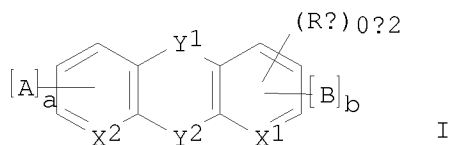
L5 2 L3

=> d ibib abs hitstr tot

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1132908 CAPLUS
DOCUMENT NUMBER: 143:405799
TITLE: Preparation of amino-substituted tricyclic derivatives
as modulators of $\alpha 7$ nicotinic receptors and
methods of use
INVENTOR(S): Schrimpf, Michael R.; Sippy, Kevin B.; Ji, Jianguo;
Li, Tao; Frost, Jennifer M.; Briggs, Clark A.;
Bunnelle, William H.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 90 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|--|-------------|
| US 20050234031 | A1 | 20051020 | US 2005-51437 | 20050204 |
| US 7365193 | B2 | 20080429 | | |
| US 20080161281 | A1 | 20080703 | US 2008-46599 | 20080312 |
| PRIORITY APPLN. INFO.: | | | US 2004-541651P | P 20040204 |
| | | | US 2005-51437 | A1 20050204 |
| OTHER SOURCE(S): | | | CASREACT 143:405799; MARPAT 143:405799 | |
| GI | | | | |



AB The title compds. I [A and B = H, halo, alkoxy, amino, etc.; X1, X2 = C, CH, N; provided that when one of X1 and X2 = N, the other + C or CH; Y1 = C(O), CH2, CH(OH), C(S), etc.; Y2 is a bond or Y2 = O, S, and N(R12); R12 = H, alkyl; Rx = H, halo, alkoxy, amino, alkylamino, dialkylamino, acylamino, dialkylaminoalkyl, and cyano; a = 0-1; b = 0-1; provided that when one of a and b = 0, the other = 1] and compns. containing I are contemplated as well as methods for treating conditions or disorders prevented by or ameliorated by $\alpha 7$ nAChR ligands that encompass compds. I and other tricyclic derivs. Compds. I had K_i values of from .apprx.1 nM to .apprx.10 μ M when tested by the [3H]-methyllycaconitine binding assay, many having a K_i of <1 μ M. (3H)-Cytisine binding values of I ranged from .apprx.50 nM to at least 100 μ M. Preferred compds. typically exhibited greater potency at $\alpha 7$ receptors compared to $\alpha 4\beta 2$ receptors. Although the methods of preparation are not claimed, 67 example preps. are included. For example, 2,7-bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one di-p-toluenesulfonate was prepared in 4 steps (54, 89, 26 and 74 % yields) starting from 2,7-dihydroxyfluoren-9-one, (2R)-(+)-1-Boc-2-pyrrolidinemethanol and involving intermediates 2,7-bis[((2R)-1-Boc-pyrrolidin-2-yl)methoxy]fluoren-9-one, 2,7-bis[((2R)-pyrrolidin-2-yl)methoxy]fluoren-9-one, and 2,7-bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one.

IT 861118-22-1P, 2,7-Bis[[(3R)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861118-25-4P,

2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one
 861118-26-5P, 2,7-Bis[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861118-29-8P,
 2-[[(3S)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one
 861118-53-8P, 3,7-Bis[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]dibenzothiophene

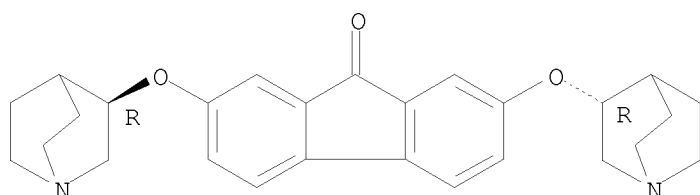
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of amino-substituted tricyclic derivs. as modulators of $\alpha 7$ nicotinic receptors and methods of use)

RN 861118-22-1 CAPLUS

CN 9H-Fluoren-9-one, 2,7-bis[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

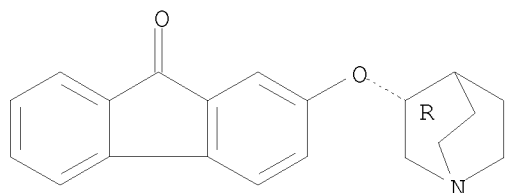
Absolute stereochemistry.



RN 861118-25-4 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

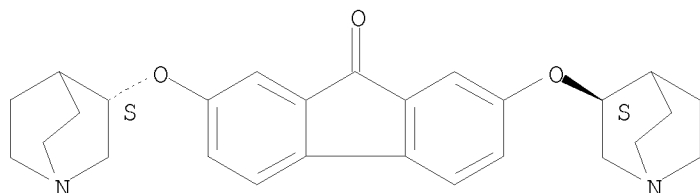
Absolute stereochemistry.



RN 861118-26-5 CAPLUS

CN 9H-Fluoren-9-one, 2,7-bis[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

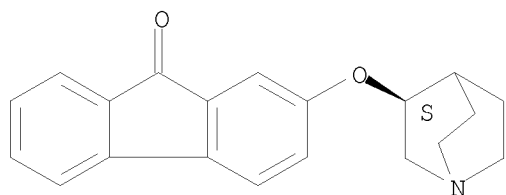
Absolute stereochemistry.



RN 861118-29-8 CAPLUS

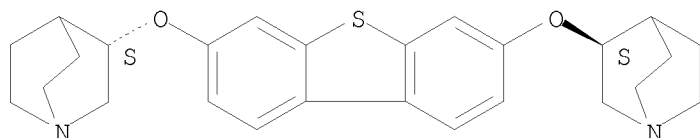
CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 861118-53-8 CAPLUS
 CN 1-Azabicyclo[2.2.2]octane, 3,3'-[3,7-dibenzothiophenediylbis(oxy)]bis-,
 (3S,3'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

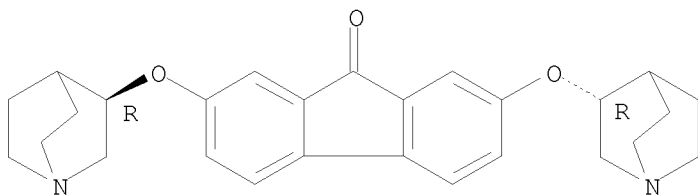


IT 861118-23-2P, 2,7-Bis[[(3R)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one di-p-toluenesulfonate 861118-27-6P,
 2,7-Bis[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one fumarate 861118-28-7P,
 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one p-toluenesulfonate 861118-30-1P,
 2-[[(3S)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one fumarate 861118-54-9P,
 3,7-Bis[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]dibenzothiophene di-p-toluenesulfonate 861118-93-6P,
 2-[(1-Azabicyclo[2.2.2]octan-3-yl)oxy]-9H-carbazole 861119-28-0P,
 2-Amino-7-[[(3R)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861119-31-5P,
 2-Amino-7-[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861119-34-8P,
 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]-7-methylaminofluoren-9-one 861119-37-1P,
 2-[[(3S)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]-7-methylaminofluoren-9-one 861119-40-6P,
 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]-7-dimethylaminofluoren-9-one 861119-43-9P,
 2-[[(3S)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]-7-dimethylaminofluoren-9-one 861119-45-1P,
 3,7-Bis[[(3R)-1-azabicyclo[2.2.2]octan-3-yl]oxy]dibenzothiophene 861119-48-4P,
 3,7-Bis[[(3R)-1-azabicyclo[2.2.2]octan-3-yl]oxy]dibenzothiophene-5,5-dioxide 861132-04-9P,
 3,7-Bis[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]dibenzothiophene-5,5-dioxide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of amino-substituted tricyclic derivs. as modulators of $\alpha 7$ nicotinic receptors and methods of use)
 RN 861118-23-2 CAPLUS
 CN 9H-Fluoren-9-one, 2,7-bis[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-, 4-methylbenzenesulfonate (1:2) (CA INDEX NAME)

CM 1

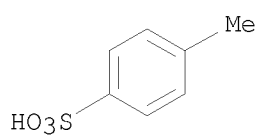
CRN 861118-22-1
 CMF C27 H30 N2 O3

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

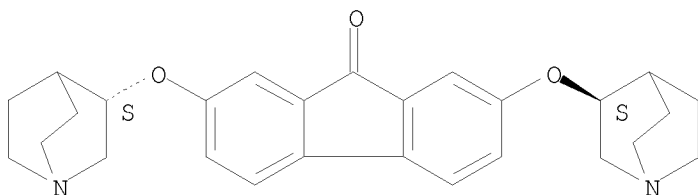


RN 861118-27-6 CAPLUS
CN 9H-Fluoren-9-one, 2,7-bis[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]-,
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 861118-26-5
CMF C27 H30 N2 O3

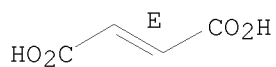
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

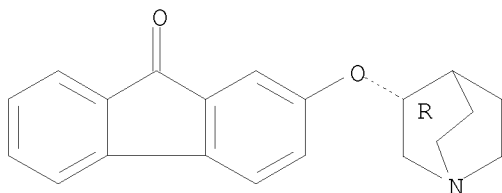


RN 861118-28-7 CAPLUS
CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-,
4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

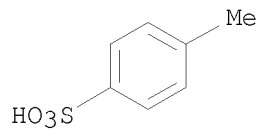
CRN 861118-25-4
CMF C20 H19 N O2

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

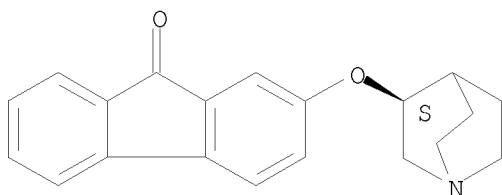


RN 861118-30-1 CAPLUS
CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]-,
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 861118-29-8
CMF C20 H19 N O2

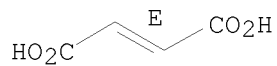
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 861118-54-9 CAPLUS
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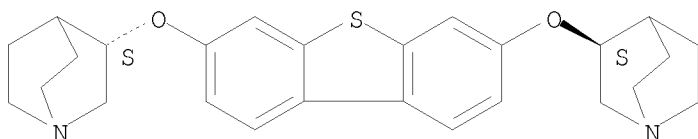
(3S,3'S)-, bis(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 861118-53-8

CMF C26 H30 N2 O2 S

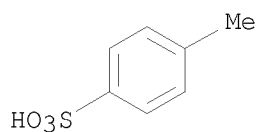
Absolute stereochemistry.



CM 2

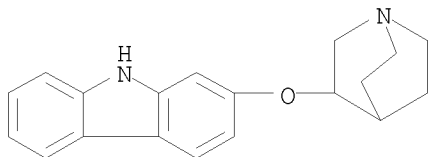
CRN 104-15-4

CMF C7 H8 O3 S



RN 861118-93-6 CAPLUS

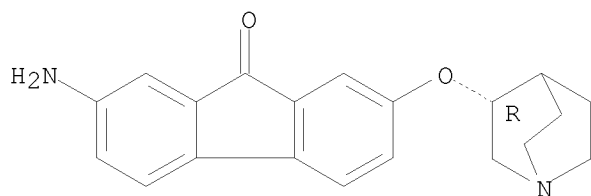
CN 9H-Carbazole, 2-(1-azabicyclo[2.2.2]oct-3-yloxy)- (CA INDEX NAME)



RN 861119-28-0 CAPLUS

CN 9H-Fluoren-9-one, 2-amino-7-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

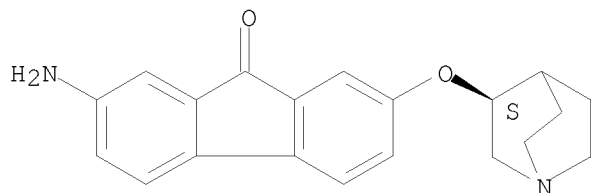
Absolute stereochemistry.



RN 861119-31-5 CAPLUS

CN 9H-Fluoren-9-one, 2-amino-7-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

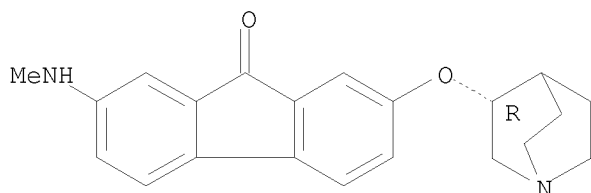
Absolute stereochemistry.



RN 861119-34-8 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-7-(methylamino)-
(CA INDEX NAME)

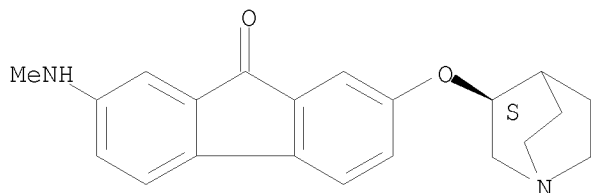
Absolute stereochemistry.



RN 861119-37-1 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]-7-(methylenedioxy)-
(CA INDEX NAME)

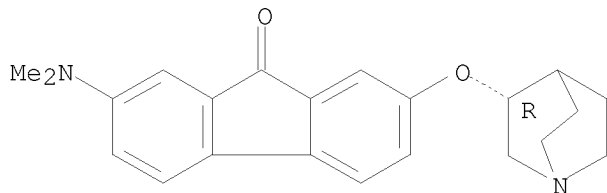
Absolute stereochemistry.



RN 861119-40-6 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-7-(dimethylamino)-
(CA INDEX NAME)

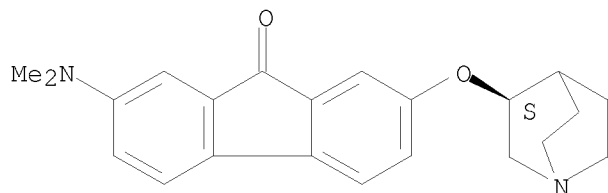
Absolute stereochemistry.



RN 861119-43-9 CAPLUS

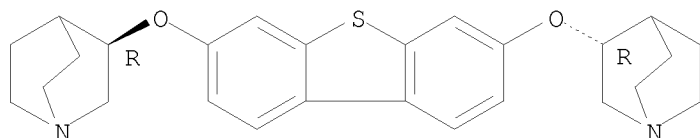
CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]-7-(dimethylenedioxy)-
(CA INDEX NAME)

Absolute stereochemistry.



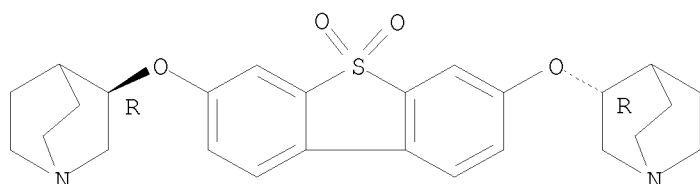
RN 861119-45-1 CAPLUS
 CN 1-Azabicyclo[2.2.2]octane, 3,3'-[3,7-dibenzothiophenediylbis(oxy)]bis-, (3R,3'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



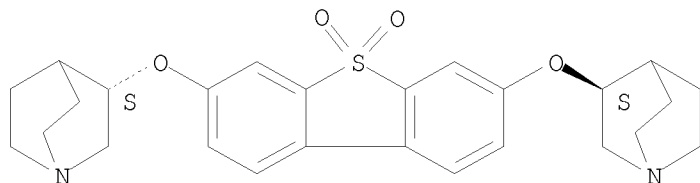
RN 861119-48-4 CAPLUS
 CN 1-Azabicyclo[2.2.2]octane, 3,3'-[(5,5-dioxido-3,7-dibenzothiophenediyl)bis(oxy)]bis-, (3R,3'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 861132-04-9 CAPLUS
 CN 1-Azabicyclo[2.2.2]octane, 3,3'-[(5,5-dioxido-3,7-dibenzothiophenediyl)bis(oxy)]bis-, (3S,3'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

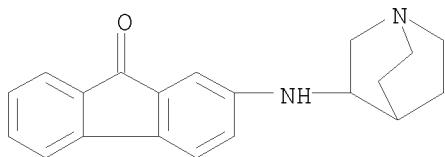


IT 867373-89-5P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of amino-substituted tricyclic derivs. as modulators of $\alpha 7$ nicotinic receptors and methods of use)
 RN 867373-89-5 CAPLUS
 CN 9H-Fluoren-9-one, 2-(1-azabicyclo[2.2.2]oct-3-ylamino)-, 4-methylbenzenesulfonate (1:2) (CA INDEX NAME)

CM 1

CRN 867373-88-4

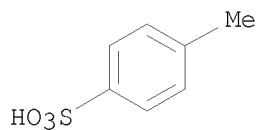
CMF C20 H20 N2 O



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



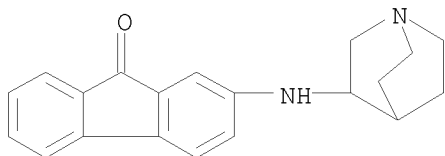
IT 867373-88-4P 867373-99-7P 867374-00-3P
867374-01-4P 867374-02-5P 867374-03-6P
867374-04-7P 867374-05-8P 867374-06-9P
867374-07-0P 867374-22-9P 867374-23-0P
867374-65-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of amino-substituted tricyclic derivs. as modulators of
 α 7 nicotinic receptors and methods of use)

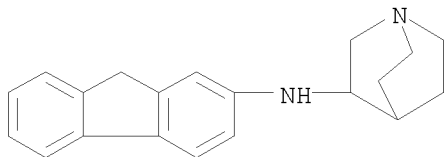
RN 867373-88-4 CAPLUS

CN 9H-Fluoren-9-one, 2-(1-azabicyclo[2.2.2]oct-3-ylamino)- (CA INDEX NAME)



RN 867373-99-7 CAPLUS

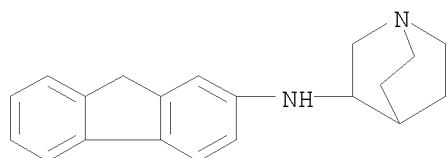
CN 1-Azabicyclo[2.2.2]octan-3-amine, N-9H-fluoren-2-yl- (CA INDEX NAME)



RN 867374-00-3 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, N-9H-fluoren-2-yl-,
4-methylbenzenesulfonate (1:2) (CA INDEX NAME)

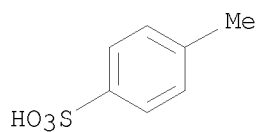
CM 1

CRN 867373-99-7
CMF C20 H22 N2



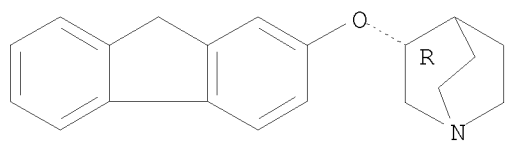
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



RN 867374-01-4 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-2-yloxy)-, hydrochloride (1:1),
(3R)- (CA INDEX NAME)

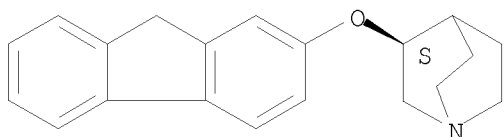
Absolute stereochemistry.



● HCl

RN 867374-02-5 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-2-yloxy)-, hydrochloride (1:1),
(3S)- (CA INDEX NAME)

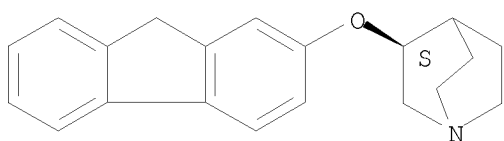
Absolute stereochemistry.



● HCl

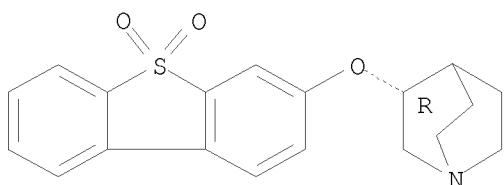
RN 867374-03-6 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-2-yloxy)-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 867374-04-7 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[(5,5-dioxido-3-dibenzothienyl)oxy]-, (3R)-
(CA INDEX NAME)

Absolute stereochemistry.

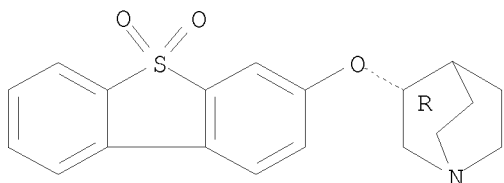


RN 867374-05-8 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[(5,5-dioxido-3-dibenzothienyl)oxy]-, (3R)-,
4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

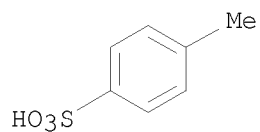
CRN 867374-04-7
CMF C19 H19 N O3 S

Absolute stereochemistry.



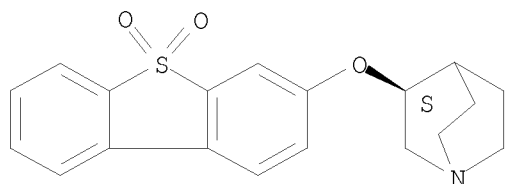
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



RN 867374-06-9 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[(5,5-dioxido-3-dibenzothieryl)oxy]-, (3S)-
(CA INDEX NAME)

Absolute stereochemistry.

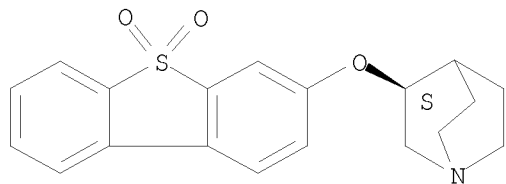


RN 867374-07-0 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[(5,5-dioxido-3-dibenzothieryl)oxy]-, (3S)-,
4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

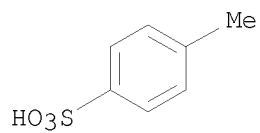
CRN 867374-06-9
CMF C19 H19 N O3 S

Absolute stereochemistry.



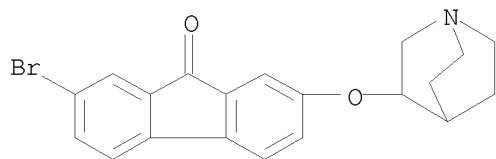
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



RN 867374-22-9 CAPLUS
CN 9H-Fluoren-9-one, 2-(1-azabicyclo[2.2.2]oct-3-yloxy)-7-bromo- (CA INDEX

NAME)



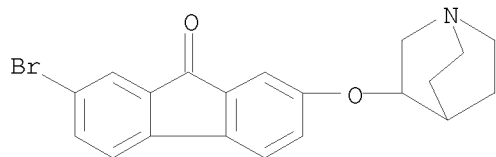
RN 867374-23-0 CAPLUS

CN 9H-Fluoren-9-one, 2-(1-azabicyclo[2.2.2]oct-3-yloxy)-7-bromo-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 867374-22-9

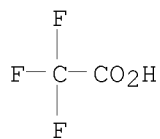
CMF C20 H18 Br N O2



CM 2

CRN 76-05-1

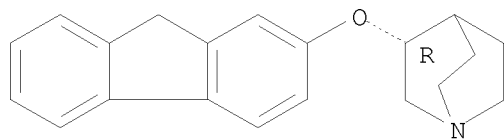
CMF C2 H F3 O2



RN 867374-65-0 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-2-yloxy)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 861118-24-3P, 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]-7-
iodofluoren-9-one 867374-48-9P

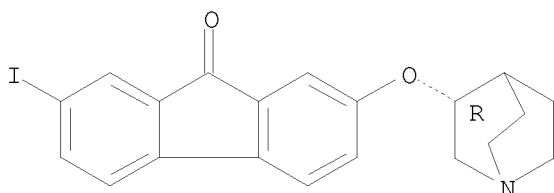
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of amino-substituted tricyclic derivs. as modulators of
 $\alpha 7$ nicotinic receptors and methods of use)

RN 861118-24-3 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-7-iodo- (CA INDEX NAME)

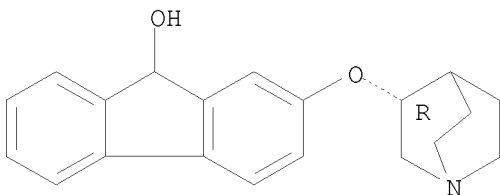
Absolute stereochemistry.



RN 867374-48-9 CAPLUS

CN 9H-Fluoren-9-ol, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

111

THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:698355 CAPLUS

DOCUMENT NUMBER: 143:172757

TITLE: Preparation of amino-substituted tricyclic derivatives as modulators of $\alpha 7$ nicotinic receptors and methods of use

INVENTOR(S): Schrimpf, Michael R.; Sippy, Kevin B.; Ji, Jianguo; Li, Tao; Pace, Jennifer M.; Briggs, Clark A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 67 pp.

CODEN: USXXCO

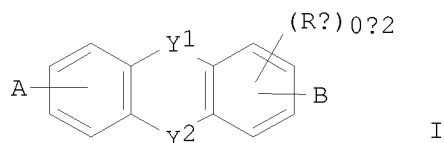
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| US 20050171079 | A1 | 20050804 | US 2004-772192 | 20040204 |
| CA 2555884 | A1 | 20050825 | CA 2005-2555884 | 20050204 |
| WO 2005077899 | A2 | 20050825 | WO 2005-US3578 | 20050204 |
| WO 2005077899 | A3 | 20051201 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1711463 | A2 | 20061018 | EP 2005-712865 | 20050204 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | |
| JP 2007523899 | T | 20070823 | JP 2006-552264 | 20050204 |
| MX 2006008817 | A | 20061106 | MX 2006-8817 | 20060803 |
| PRIORITY APPLN. INFO.: | | | US 2004-772192 | A 20040204 |
| | | | WO 2005-US3578 | W 20050204 |
| OTHER SOURCE(S): | CASREACT 143:172757; MARPAT 143:172757 | | | |
| GI | | | | |

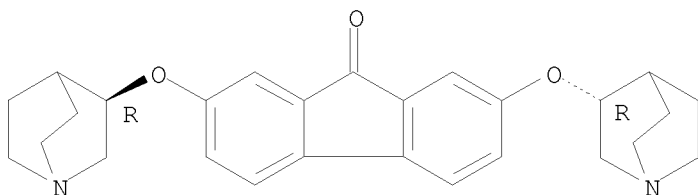


AB Amino-substituted tricyclic derivs. (shown as I; variables defined below; e.g. 2,7-Bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one di-p-toluenesulfonate (II)) and compns. containing I are contemplated as well as methods for treating conditions or disorders prevented by or ameliorated by $\alpha 7$ nAChR ligands that encompass compds. I and other tricyclic derivs. Compds. I had K_i values of from .apprx.1 nM to .apprx.10 μ M when tested by the [3H]-methyllycaconitine binding assay, many having a K_i of <1 μ M. (3H)-Cytisine binding values of I ranged

from .apprx.50 nM to at least 100 μ M. Preferred compds. typically exhibited greater potency at $\alpha 7$ receptors compared to $\alpha 4\beta 2$ receptors. For I: A and B = H, halogen, alkoxy, amino, alkylamino, acylamino, dialkylamino, cyano, nitro, and $-\text{SO}_3\text{H}$, $-\text{C.tplbond.CCH}_2\text{NR}_7\text{R}_8$ and $-\text{O}-[\text{C}(\text{R}_{20})_2-3\text{N}(\text{R}_{21})(\text{R}_{22})]$, et al.; Y1 = $-\text{C}(\text{O})-$, $-\text{CH}_2-$, $-\text{CH}(\text{OH})-$, $-\text{C}(\text{S})-$, $-\text{N}(\text{R}_{11})-$, $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O})-$, $-\text{S}(\text{O})_2-$, $-\text{C}(\text{O})\text{NH}-$, and $-\text{S}(\text{O})_2\text{NH}-$; Y2 is a bond or Y2 = $-\text{O}-$, $-\text{S}-$, and $-\text{N}(\text{R}_{12})-$; Rx = H, halogen, alkoxy, amino, alkylamino, dialkylamino, acylamino, dialkylaminoalkyl, and cyano; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, 22 example preps. are included. For example, II was prepared in 4 steps (54, 89, 26 and 74 % yields) starting from 2,7-dihydroxyfluoren-9-one, (2R)-(+)-1-Boc-2-pyrrolidinemethanol and involving intermediates 2,7-bis[((2R)-1-Boc-pyrrolidin-2-yl)methoxy]fluoren-9-one, 2,7-bis[((2R)-pyrrolidin-2-yl)methoxy]fluoren-9-one, and 2,7-bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one.

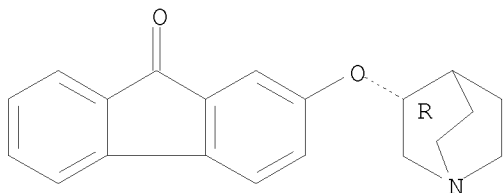
IT 861118-22-1P, 2,7-Bis[[(3R)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861118-25-4P, 2-[[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861118-26-5P, 2,7-Bis[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861118-29-8P, 2-[[[(3S)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861118-53-8P, 3,7-Bis[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]dibenzothiophene
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of amino-substituted tricyclic derivs. as modulators of $\alpha 7$ nicotinic receptors and methods of use)
 RN 861118-22-1 CAPLUS
 CN 9H-Fluoren-9-one, 2,7-bis[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

Absolute stereochemistry.



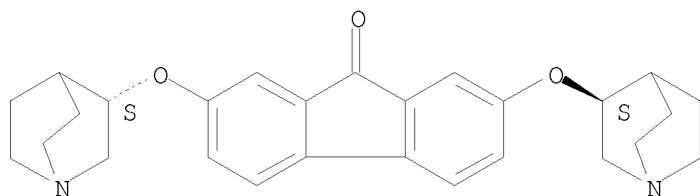
RN 861118-25-4 CAPLUS
 CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 861118-26-5 CAPLUS
 CN 9H-Fluoren-9-one, 2,7-bis[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

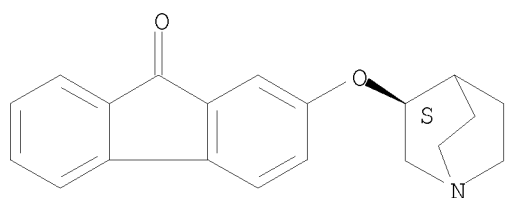
Absolute stereochemistry.



RN 861118-29-8 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

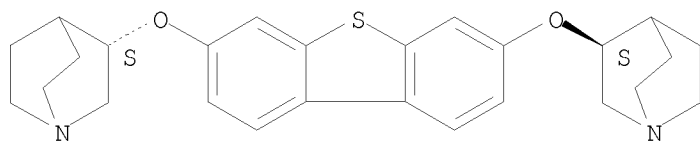
Absolute stereochemistry.



RN 861118-53-8 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3,3'-[3,7-dibenzothiophenediylbis(oxy)]bis-, (3S,3'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 861118-23-2P, 2,7-Bis[[(3R)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one di-p-toluenesulfonate 861118-27-6P, 2,7-Bis[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one fumarate 861118-28-7P, 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one p-toluenesulfonate 861118-30-1P, 2-[[(3S)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one fumarate 861118-54-9P, 3,7-Bis[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]dibenzothiophene di-p-toluenesulfonate 861118-93-6P, 2-[(1-Azabicyclo[2.2.2]octan-3-yl)oxy]-9H-carbazole 861119-28-0P, 2-Amino-7-[[(3R)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861119-31-5P, 2-Amino-7-[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861119-34-8P, 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]-7-methylaminofluoren-9-one 861119-37-1P, 2-[[(3S)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]-7-methylaminofluoren-9-one 861119-40-6P, 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]-7-dimethylaminofluoren-9-one 861119-43-9P, 2-[[(3S)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]-7-dimethylaminofluoren-9-one 861119-45-1P, 3,7-Bis[[(3R)-1-azabicyclo[2.2.2]octan-3-yl]oxy]dibenzothiophene 861119-48-4P, 3,7-Bis[[(3R)-1-azabicyclo[2.2.2]octan-3-yl]oxy]dibenzothiophene-5,5-dioxide 861132-04-9P, 3,7-Bis[[(3S)-1-azabicyclo[2.2.2]octan-3-yl]oxy]dibenzothiophene-5,5-

dioxide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of amino-substituted tricyclic derivs. as modulators of $\alpha 7$ nicotinic receptors and methods of use)

RN 861118-23-2 CAPLUS

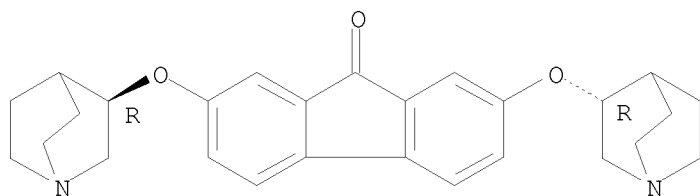
CN 9H-Fluoren-9-one, 2,7-bis[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-, 4-methylbenzenesulfonate (1:2) (CA INDEX NAME)

CM 1

CRN 861118-22-1

CMF C27 H30 N2 O3

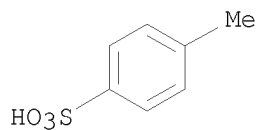
Absolute stereochemistry.



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



RN 861118-27-6 CAPLUS

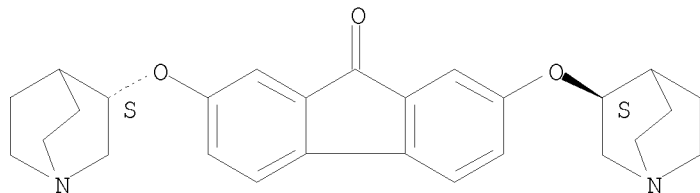
CN 9H-Fluoren-9-one, 2,7-bis[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 861118-26-5

CMF C27 H30 N2 O3

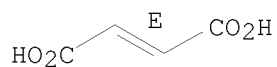
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

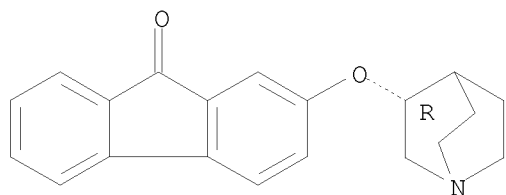


RN 861118-28-7 CAPLUS
CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-,
4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

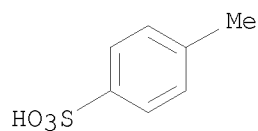
CRN 861118-25-4
CMF C20 H19 N O2

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

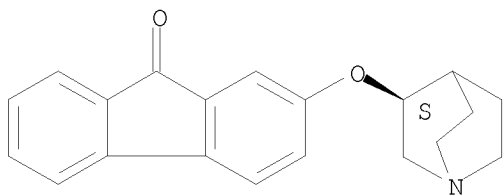


RN 861118-30-1 CAPLUS
CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]-,
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 861118-29-8
CMF C20 H19 N O2

Absolute stereochemistry.

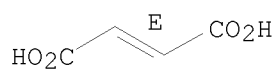


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 861118-54-9 CAPLUS

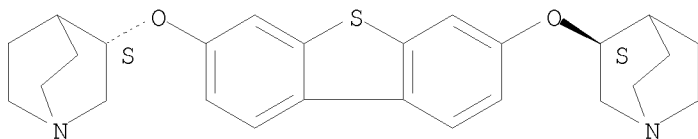
CN 1-Azabicyclo[2.2.2]octane, 3,3'-[3,7-dibenzothiophenediylbis(oxy)]bis-, (3S,3'S)-, bis(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 861118-53-8

CMF C26 H30 N2 O2 S

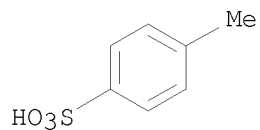
Absolute stereochemistry.



CM 2

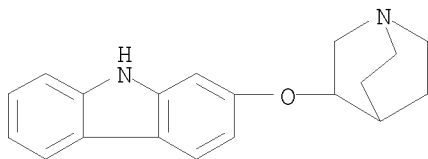
CRN 104-15-4

CMF C7 H8 O3 S



RN 861118-93-6 CAPLUS

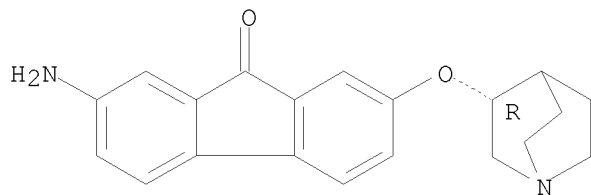
CN 9H-Carbazole, 2-(1-azabicyclo[2.2.2]oct-3-yloxy)- (CA INDEX NAME)



RN 861119-28-0 CAPLUS

CN 9H-Fluoren-9-one, 2-amino-7-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

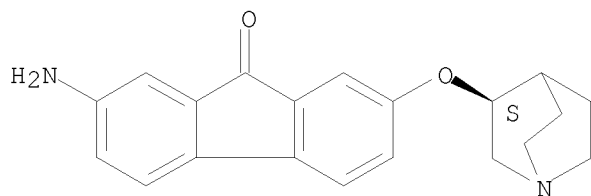
Absolute stereochemistry.



RN 861119-31-5 CAPLUS

CN 9H-Fluoren-9-one, 2-amino-7-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

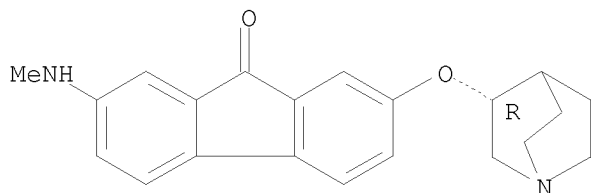
Absolute stereochemistry.



RN 861119-34-8 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-7-(methylamino)- (CA INDEX NAME)

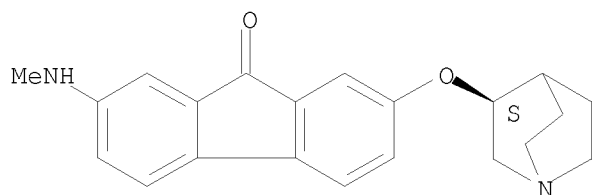
Absolute stereochemistry.



RN 861119-37-1 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]-7-(methylamino)- (CA INDEX NAME)

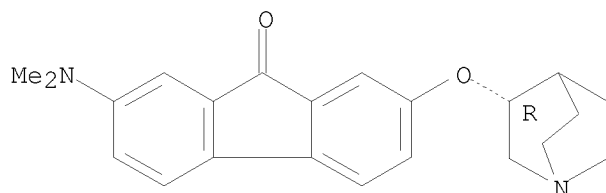
Absolute stereochemistry.



RN 861119-40-6 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-7-(dimethylamino)- (CA INDEX NAME)

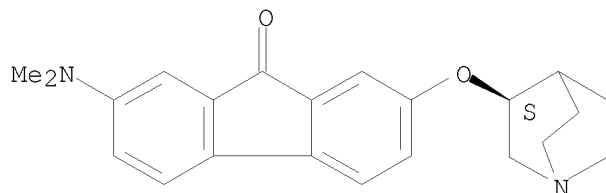
Absolute stereochemistry.



RN 861119-43-9 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]-7-(dimethylamino)- (CA INDEX NAME)

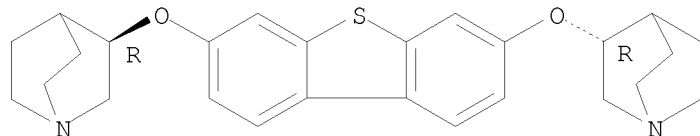
Absolute stereochemistry.



RN 861119-45-1 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3,3'-[3,7-dibenzothiophenediylbis(oxy)]bis-, (3R,3'R)- (9CI) (CA INDEX NAME)

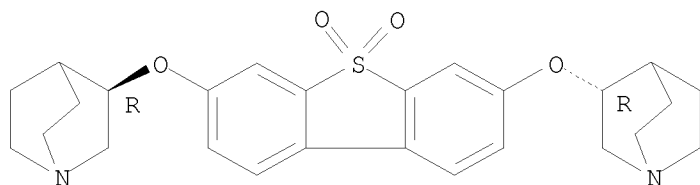
Absolute stereochemistry.



RN 861119-48-4 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3,3'-[(5,5-dioxido-3,7-dibenzothiophenediyl)bis(oxy)]bis-, (3R,3'R)- (9CI) (CA INDEX NAME)

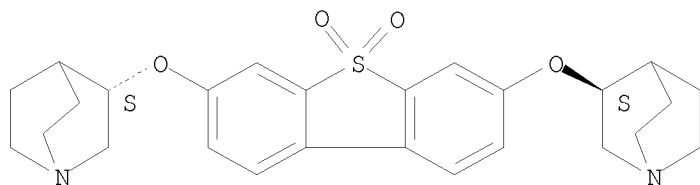
Absolute stereochemistry.



RN 861132-04-9 CAPLUS

CN 1-Azabicyclo[2.2.2]octane, 3,3'-[(5,5-dioxido-3,7-dibenzothiophenediyl)bis(oxy)]bis-, (3S,3'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 861118-24-3P, 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]-7-iodofluoren-9-one

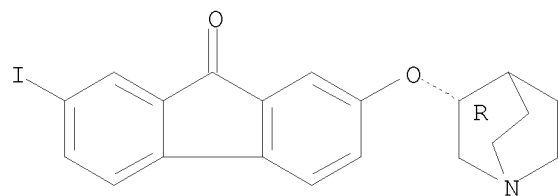
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino-substituted tricyclic derivs. as modulators of α_7 nicotinic receptors and methods of use)

RN 861118-24-3 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-7-iodo- (CA INDEX NAME)

Absolute stereochemistry.



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

12.28

384.96

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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